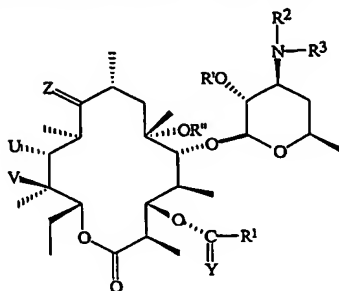


**WE CLAIM:**

- 1 1. A compound having the structure of Formula I

**Formula I**

- 2  
3  
4  
5  
6  
7  
8 and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable  
9 solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

10  $R^1$  represents: lower alkyl ( $C_1$ - $C_5$ ) group, lower alkyl ( $C_1$ - $C_5$ ) having one or more halogen  
11 (F, Cl, Br, I) atoms as substituent (s), lower alkyl ( $C_1$ - $C_5$ ) amino group, lower alkyl amino  
12 ( $C_1$ - $C_5$ ) carbonyl group; lower alkoxy group ( $C_1$ - $C_5$ ); or five or six membered aryl or  
13 heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen,  
14 nitrogen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or  
15 substituted by 1 to 3 substituents independently selected from the group consisting of  
16 lower alkyl ( $C_1$ - $C_5$ ) group, lower alkyl ( $C_1$ - $C_5$ ) group having one or more halogen (F, Cl,  
17 Br, I) atoms, lower alkoxy ( $C_1$ - $C_5$ ) groups, lower alkyl ( $C_1$ - $C_5$ ) amino group, halogen  
18 atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, and cyano group;

19  $R^2$  and  $R^3$  are independently selected from:  $C_1$ - $C_6$  alkyl group optionally substituted with  
20 halogen atoms (F, Cl, Br, I); cycloalkyl ( $C_3$ - $C_7$ ) group; or five to six membered aryl or  
21 heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting  
22 of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted  
23 or substituted by 1 to 3 substituents independently selected from the group consisting of  
24 lower alkyl ( $C_1$ - $C_3$ ), lower alkyl ( $C_1$ - $C_3$ ) group having one or more halogen (F, Cl, Br, I)  
25 atom as substituent(s), lower alkoxy ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) amino group,  
26 halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, or cyano group; the above-  
27 mentioned  $C_1$ - $C_6$  alkyl group may be substituted by:  $NHCOR^5$ ,  $NHCOOR^5$ ,  $OCOR^5$ ,  
28  $COR^5$  wherein  $R^5$  represents lower alkyl ( $C_1$ - $C_5$ ); five to six membered aryl or heteroaryl  
29 ring having 1 to 3 hetero atom independently selected from the group consisting of  
30 nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or

31 substituted by 1 to 3 substituents independently selected from the group consisting of  
32 lower alkyl (C<sub>1</sub>-C<sub>3</sub>), lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group having one or more halogen (F, Cl, Br, I)  
33 atoms as substituent(s), lower alkoxy (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) amino group,  
34 halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, and cyano group; C<sub>2</sub>-C<sub>6</sub> alkenyl  
35 or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group  
36 consisting of NHCOR<sup>5</sup>, NHCOOR<sup>5</sup>, COR<sup>5</sup>, OCOR<sup>5</sup> (wherein R<sup>5</sup> is as defined above);  
37 cycloalkyl (C<sub>3</sub>-C<sub>7</sub>) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero  
38 atom independently selected from the group consisting of nitrogen, oxygen, and sulphur,  
39 wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3  
40 substituents independently selected from the group consisting of lower alkyl (C<sub>1</sub>-C<sub>3</sub>)  
41 group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group having one or more halogen (F, Cl, Br, I) atoms as  
42 substituent(s), lower alkoxy (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) amino, halogen (F, Cl, Br,  
43 I) atoms, nitro group, hydroxy group, amino group, and cyano group;

44 R' represents hydrogen, or a hydroxy protecting group optionally selected from acetyl,  
45 benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxy methyl;

46 R'' represents hydrogen, or a lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group;

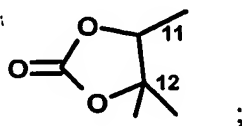
47 Y represents oxygen or sulphur;

48 Z represents an oxygen atom or a group represented by NOR<sup>6</sup>, wherein R<sup>6</sup> represents  
49 hydrogen atom, alkyl (C<sub>1</sub>-C<sub>6</sub>) group, alkyl (C<sub>1</sub>-C<sub>6</sub>) amino group, phenyl or benzyl group,  
50 or phenyl or benzyl group having 1 to 5 substituent independently selected from halogen  
51 (F, Cl, Br, I) atoms, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group, hydroxy group, nitro group, cyano group,  
52 or amino group;

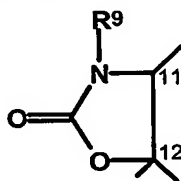
53 U represents a hydroxy group: OR<sup>7</sup>, wherein R<sup>7</sup> represents hydroxy protecting group  
54 selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxymethyl; or  
55 -NH(CH<sub>2</sub>)<sub>n</sub>R<sup>8</sup>, wherein n represents 0 to 4 and R<sup>8</sup> represents five or six membered aryl or  
56 heteroaryl ring having 1 to 4 hetero atom independently selected from the group consisting  
57 of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted  
58 or substituted by one to three substituents independently selected from the group  
59 consisting of lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group having one or more  
60 halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl  
61 (C<sub>1</sub>-C<sub>3</sub>) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and  
62 cyano group;

V represents: hydrogen atom; hydroxy group; or  $OR^7$ , wherein  $R^7$  represents a hydroxy protecting group selected from the group consisting of acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl and methoxymethyl;

U and V may also together represent (with carbon atoms at the 11- and 12- positions on the erythronolide skeleton): a group represented by Formula



or a group represented by the Formula



wherein  $R^9$  represents: hydrogen atom; alkyl ( $C_1$ - $C_6$ ) group, wherein the alkyl ( $C_1$ - $C_6$ ) may be unsubstituted or substituted by halogen (F, Cl, Br, I) atoms, five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group.

2. A compound selected from the group consisting of:

3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminyl erythronolide A (Compound No. 1)

3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminyl erythronolide A (Compound No. 2)

3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminyl erythronolide A (Compound No. 3)

3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-fluoro)benzyl]desosaminyl-6-O-methyl erythronolide A (Compound No. 4)

- 11 3-O-(2-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-fluoro)benzyl]desosaminyl-  
12 6-O-methyl erythronolide A (Compound No. 5)
- 13 3-O-(3-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-fluoro)benzyl]desosaminyl-  
14 6-O-methyl erythronolide A (Compound No. 6)
- 15 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl) desosaminyl-6-  
16 O-methyl erythronolide A (Compound No. 7)
- 17 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl  
18 erythronolide A (Compound No. 8)
- 19 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl  
20 erythronolide A (Compound No. 9)
- 21 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminyl-6-O-methyl erythronolide A  
22 (Compound No. 10)
- 23 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminyl-6-O-  
24 methyl erythronolide A (Compound No. 11)
- 25 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)  
26 desosaminyl-6-O-methyl erythronolide A (Compound No. 12)
- 27 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)  
28 desosaminyl-6-O-methyl erythronolide A (Compound No. 13)
- 29 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3,4-difluoro)benzyl]  
30 desosaminyl-6-O-methyl erythronolide (Compound No. 14)
- 31 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-cyclopropyl) desosaminyl-6-  
32 O-methyl erythronolide A (Compound No. 15)
- 33 3-O-(3-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-  
34 methyl erythronolide A (Compound No. 16)
- 35 3-O-(4-Fluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3-hydroxy) benzyl]  
36 desosaminyl-6-O-methyl erythronolide A (Compound No. 17)
- 37 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-  
38 methyl erythronolide A (Compound No. 18)
- 39 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl  
40 erythronolide A (Compound No. 19)
- 41 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl  
42 erythronolide A (Compound No. 20)
- 43 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-  
44 methyl erythronolide A (Compound No. 21)

- 45 3-O-(3-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-  
46 methyl erythronolide A (Compound No. 22)
- 47 3-O-(2-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl  
48 erythronolide A (Compound No. 23)
- 49 3-O-(4-Fluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-(4-nitro)  
50 benzyl)desosaminyl-6-O-methyl erythronolide A (Compound No. 24)
- 51 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-  
52 cyclopropylmethyl)desosaminyl-6-O-methyl erythronolide A (Compound No. 25)
- 53 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)  
54 desosaminyl-6-O-methyl erythronolide A (Compound No. 26)
- 55 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminyl-6-O-methyl  
56 erythronolide A (Compound No. 27)
- 57 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl  
58 erythronolide A (Compound No. 28)
- 59 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl  
60 erythronolide A (Compound No. 29)
- 61 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl erythronolide A  
62 (Compound No. 30)
- 63 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl  
64 erythronolide A (Compound No. 31)
- 65 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl  
66 erythronolide A (Compound No. 32)
- 67 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminyl-6-O-methyl  
68 erythronolide A (Compound No. 33)
- 69 3-O-(2-Nitrophenyl) acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl) desosaminyl-6-O-  
70 methyl erythronolide A (Compound No. 34)
- 71 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminyl-6-O-  
72 methyl erythronolide A (Compound No. 35)
- 73 3-O-(2-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-isopropyl)desosaminyl-6-O-  
74 methyl erythronolide A (Compound No. 36)
- 75 3-O-(3-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-  
76 methyl erythronolide A (Compound No. 37)
- 77 3-O-(4-Nitrophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminyl-6-O-  
78 methyl erythronolide A (Compound No. 38)

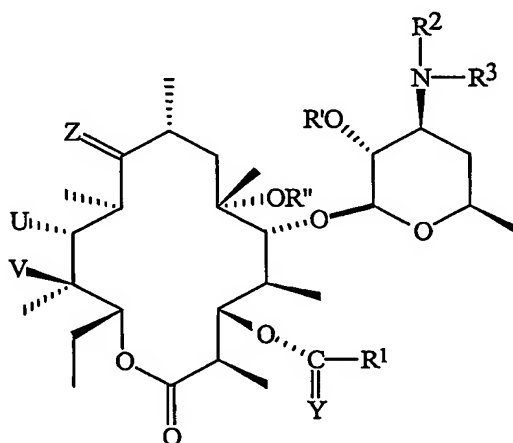
- 79 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl) desosaminy-6-  
80 O-methyl erythronolide A (Compound No. 39)
- 81 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl) desosaminy-6-  
82 O-methyl erythronolide A (Compound No. 40)
- 83 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl} desoaminy-6-O-methyl  
84 erythronolide A (Compound No. 41)
- 85 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)  
86 desosaminy-6-O-methyl erythronolide A (Compound No. 42)
- 87 3-O-(2-Pyridyl)acetyl-5-O-[3'-N-desmethyl-3'-N-benzyl]desosaminy-6-O-methyl  
88 erythronolide A (Compound No. 43)
- 89 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminy-6-O-methyl  
90 erythronolide A (Compound No. 44)
- 91 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminy-6-O-methyl  
92 erythronolide A (Compound No. 45)
- 93 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminy-6-O-methyl  
94 erythronolide A (Compound No. 46)
- 95 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminy-6-O-methyl  
96 erythronolide A (Compound No. 47)
- 97 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-propargyl)desosaminy-6-O-methyl  
98 erythronolide A (Compound No. 48)
- 99 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminy-6-O-  
100 methyl erythronolide A (Compound No. 49)
- 101 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)desosaminy-6-  
102 O-methyl erythronolide A (Compound No. 50)
- 103 3-O-(4-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminy-6-O-methyl  
104 erythronolide A (Compound No. 51)
- 105 3-O-(3-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desosaminy-6-O-methyl  
106 erythronolide A (Compound No. 52)
- 107 3-O-(4-Pyridyl) acetyl-5-O-N-desmethyl-3'-N-cyclopropylmethyl) desosaminy-6-O-  
108 methyl erythronolide A (Compound No.53)
- 109 3-O-(4-Pyridyl) acetyl-5-O-(3'-N-desmethyl-3'-N-allyl)desosaminy-6-O-methyl  
110 erythronolide A (Compound No. 54)
- 111 3-O-(2-Pyridyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropyl)desosaminy-6-O-  
112 methyl erythronolide A (Compound No. 55)

- 113 3-O-(Phenyl)acetyl-5-O-[(3'-N-desmethyl-3'-N-cyclopropylmethyl]desoaminyl-6-O-  
114 methyl erythronolide A (Compound No. 56)
- 115 3-O-(Phenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-(4-fluoro)benzyl)desoaminyl-6-O-  
116 methyl erythronolide A (Compound No. 57)
- 117 3-O-(Phenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desoaminyl-6-O-methyl  
118 erythronolide A (Compound No. 58)
- 119 3-O-(2-Thiophene)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl) desosaminyl-  
120 6-O-methyl erythronolide A (Compound No. 59)
- 121 3-O-(2-Thiophene)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl  
122 erythronolide A (Compound No. 60)
- 123 3-O-(2-Thiophene)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminyl-6-O-methyl  
124 erythronolide A (Compound No. 61)
- 125 3-O-(2-Thiophene)acetyl-5-O-[3'-N-desmethyl-3'-N-(3-hydroxy) benzyl]  
126 desosaminyl-6-O-methyl erythronolide A (Compound No. 62)
- 127 3-O-(4-Chlorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl  
128 erythronolide A (Compound No. 63)
- 129 3-O-(4-Chlorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)desosaminyl-6-O-  
130 methyl erythronolide A (Compound No. 64)
- 131 3-O-(4-Chlorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3-hydroxy) benzyl]  
132 desosaminyl-6-O-methyl erythronolide A (Compound No. 65)
- 133 3-O-(2-Methylphenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-hydroxy) benzyl]  
134 desosaminyl-6-O-methyl erythronolide A (Compound No. 66)
- 135 3-O-(2-Methylphenyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)  
136 desosaminyl-6-O-methyl erythronolide A (Compound No. 67)
- 137 3-O-(4-Methylphenyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)  
138 desosaminyl-6-O-methyl erythronolide A (Compound No. 68)
- 139 3-O-(4-Methoxyphenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-cyclopropylmethyl)  
140 desosaminyl-6-O-methyl erythronolide A (Compound No. 69)
- 141 3-O-(4-Methoxyphenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3,4-difluoro)  
142 benzyl]desosaminyl-6-O-methyl erythronolide A (Compound No. 70)
- 143 3-O-(1-Naphthyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-hydroxy) benzyl]  
144 desosaminyl-6-O-methyl erythronolide A (Compound No. 71)
- 145 3-O-(1-Naphthyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)  
146 desosaminyl-6-O-methyl erythronolide A (Compound No. 72)

- 147 3-O-(2-Naphthyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)  
 148 desosaminyl-6-O-methyl erythronolide A (Compound No. 73)
- 149 3-O-(2,4-Difluorophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N-benzyl)  
 150 desosaminyl-6-O-methyl erythronolide A (Compound No. 74)
- 151 3-O-(2,4-Difluorophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(3,4-difluoro)  
 152 benzyl]desosaminyl-6-O-methyl erythronolide A (Compound No. 75)
- 153 3-O-(2-Bromophenyl)acetyl-5-O-[3'-N-desmethyl-3'-N-(4-hydroxy) benzyl]  
 154 desosaminyl-6-O-methyl erythronolide A (Compound No. 76)
- 155 3-O-(2-Bromophenyl)acetyl-5-O-(3'-N-desmethyl-3'-N- benzyl)  
 156 desosaminyl-6-O-methyl erythronolide A (Compound No. 77)
- 157 3-O-(3-Indole)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl  
 158 erythronolide A (Compound No. 78)
- 159 3-O-(2-Naphthyl)acetyl-5-O-(3'-N-desmethyl-3'-N-ethyl)desoaminyl-6-O-methyl  
 160 erythronolide A (Compound No. 79)

1 3. A pharmaceutical composition comprising a pharmaceutically effective amount of a  
 2 compound as defined in claim 1 and 2 together with pharmaceutically acceptable  
 3 carriers, excipients, or diluents.

1 4. A method for treating or preventing an animal or human suffering from bacterial  
 2 infection caused by gram positive or gram negative or atypical pathogens comprising  
 3 administering to a mammal in need of such treatment a pharmaceutically effective  
 4 amount of a compound having the structure of Formula I,



14 **Formula I**



and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

$R^1$  represents: lower alkyl ( $C_1-C_5$ ) group, lower alkyl ( $C_1-C_5$ ) having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl ( $C_1-C_5$ ) amino group, lower alkyl amino ( $C_1-C_5$ ) carbonyl group; lower alkoxy group ( $C_1-C_5$ ); or five or six membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen, nitrogen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1-C_5$ ) group, lower alkyl ( $C_1-C_5$ ) group having one or more halogen (F, Cl, Br, I) atoms, lower alkoxy ( $C_1-C_5$ ) groups, lower alkyl ( $C_1-C_5$ ) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, and cyano group;

$R^2$  and  $R^3$  are independently selected from:  $C_1-C_6$  alkyl group optionally substituted with halogen atoms (F, Cl, Br, I); cycloalkyl ( $C_3-C_7$ ) group; or five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ), lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atom as substituent(s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, or cyano group; the above-mentioned  $C_1-C_6$  alkyl group may be substituted by:  $NHCOR^5$ ,  $NHCOOR^5$ ,  $OCOR^5$ ,  $COR^5$  wherein  $R^5$  represents lower alkyl ( $C_1-C_5$ ); five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ), lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, and cyano group;  $C_2-C_6$  alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of  $NHCOR^5$ ,  $NHCOOR^5$ ,  $COR^5$ ,  $OCOR^5$  (wherein  $R^5$  is as defined above); cycloalkyl ( $C_3-C_7$ ) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom

independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group;

$R'$  represents hydrogen, or a hydroxy protecting group optionally selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxy methyl;

$R''$  represents hydrogen, or a lower alkyl ( $C_1-C_3$ ) group;

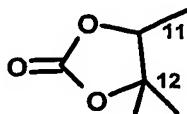
Y represents oxygen or sulphur;

Z represents an oxygen atom or a group represented by  $NOR^6$ , wherein  $R^6$  represents hydrogen atom, alkyl ( $C_1-C_6$ ) group, alkyl ( $C_1-C_6$ ) amino group, phenyl or benzyl group, or phenyl or benzyl group having 1 to 5 substituent independently selected from halogen (F, Cl, Br, I) atoms, lower alkyl ( $C_1-C_3$ ) group, hydroxy group, nitro group, cyano group, or amino group;

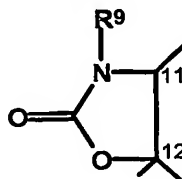
U represents a hydroxy group:  $OR^7$ , wherein  $R^7$  represents hydroxy protecting group selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxymethyl; or  $-NH(CH_2)_nR^8$ , wherein n represents 0 to 4 and  $R^8$  represents five or six membered aryl or heteroaryl ring having 1 to 4 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by one to three substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group;

V represents: hydrogen atom; hydroxy group; or  $OR^7$ , wherein  $R^7$  represents a hydroxy protecting group selected from the group consisting of acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl and methoxymethyl;

U and V may also together represent (with carbon atoms at the 11- and 12- positions on the erythronolide skeleton): a group represented by Formula



or a group represented by the Formula



wherein  $R^9$  represents: hydrogen atom; alkyl ( $C_1$ - $C_6$ ) group, wherein the alkyl ( $C_1$ - $C_6$ ) may be unsubstituted or substituted by halogen (F, Cl, Br, I) atoms, five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group.

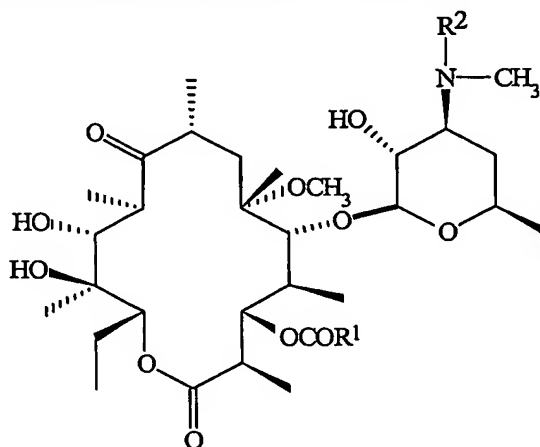
5. A method for treating or preventing of animal or human suffering from bacterial infections according to claim 4 caused by bacteria selected from the group consisting of *Staphylococcus aureus*, *Streptococcus pneumoniae*, *Enterococcus faecalis*, *Escherichia coli*, *Pseudomonas aeruginosa*, and *Haemophilus influenzae*.

6. A method for treating or preventing an animal or human suffering from bacterial infection caused by gram positive or gram negative or atypical pathogens comprising administering to a mammal in need of such treatment therapeutically effective amount of a pharmaceutical composition according to claim 3.

7. A method for treating or preventing of animal or human suffering from bacterial infections caused by bacteria selected from the group consisting of *Staphylococcus aureus*, *Streptococcus pneumoniae*, *Enterococcus faecalis*, *Escherichia coli*, *Pseudomonas aeruginosa*, and *Haemophilus influenzae*, comprising administering to a

mammal in need of such treatment therapeutically amount of a pharmaceutical composition according to claim 3.

8. A process for preparing a compound of Formula I



### Formula I

and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

$$R^3 = R'' = CH_3, R' = H, U = V = OH, \text{ and } Y = Z = O$$

R<sup>1</sup> represents: lower alkyl (C<sub>1</sub>-C<sub>5</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>5</sub>) having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl (C<sub>1</sub>-C<sub>5</sub>) amino group, lower alkyl amino (C<sub>1</sub>-C<sub>5</sub>) carbonyl group; lower alkoxy group (C<sub>1</sub>-C<sub>5</sub>); or five or six membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen, nitrogen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl (C<sub>1</sub>-C<sub>5</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>5</sub>) group having one or more halogen (F, Cl, Br, I) atoms, lower alkoxy (C<sub>1</sub>-C<sub>5</sub>) groups, lower alkyl (C<sub>1</sub>-C<sub>5</sub>) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, and cyano group;

R<sup>2</sup> and R<sup>3</sup> are independently selected from: C<sub>1</sub>-C<sub>6</sub> alkyl group optionally substituted with halogen atoms (F, Cl, Br, I); cycloalkyl (C<sub>3</sub>-C<sub>7</sub>) group; or five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from

the group consisting of lower alkyl (C<sub>1</sub>-C<sub>3</sub>), lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group having one or more halogen (F, Cl, Br, I) atom as substituent(s), lower alkoxy (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, or cyano group; the above-mentioned C<sub>1</sub>-C<sub>6</sub> alkyl group may be substituted by: NHCOR<sup>5</sup>, NHCOOR<sup>5</sup>, OCOR<sup>5</sup>, COR<sup>5</sup> wherein R<sup>5</sup> represents lower alkyl (C<sub>1</sub>-C<sub>5</sub>); five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl (C<sub>1</sub>-C<sub>3</sub>), lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, and cyano group; C<sub>2</sub>-C<sub>6</sub> alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of NHCOR<sup>5</sup>, NHCOOR<sup>5</sup>, COR<sup>5</sup>, OCOR<sup>5</sup> (wherein R<sup>5</sup> is as defined above); cycloalkyl (C<sub>3</sub>-C<sub>7</sub>) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy (C<sub>1</sub>-C<sub>3</sub>) group, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group;

R' represents hydrogen, or a hydroxy protecting group optionally selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxy methyl;

R'' represents hydrogen, or a lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group;

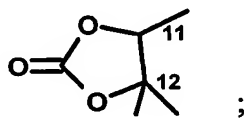
Y represents oxygen or sulphur;

Z represents an oxygen atom or a group represented by NOR<sup>6</sup>, wherein R<sup>6</sup> represents hydrogen atom, alkyl (C<sub>1</sub>-C<sub>6</sub>) group, alkyl (C<sub>1</sub>-C<sub>6</sub>) amino group, phenyl or benzyl group, or phenyl or benzyl group having 1 to 5 substituent independently selected from halogen (F, Cl, Br, I) atoms, lower alkyl (C<sub>1</sub>-C<sub>3</sub>) group, hydroxy group, nitro group, cyano group, or amino group;

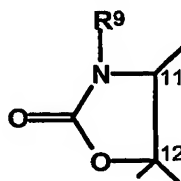
U represents a hydroxy group:  $OR^7$ , wherein  $R^7$  represents hydroxy protecting group selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, or methoxymethyl; or  $-NH(CH_2)_nR^8$ , wherein  $n$  represents 0 to 4 and  $R^8$  represents five or six membered aryl or heteroaryl ring having 1 to 4 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by one to three substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group;

V represents: hydrogen atom; hydroxy group; or  $OR^7$ , wherein  $R^7$  represents a hydroxy protecting group selected from the group consisting of acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl and methoxymethyl;

U and V may also together represent (with carbon atoms at the 11- and 12- positions on the erythronolide skeleton): a group represented by Formula

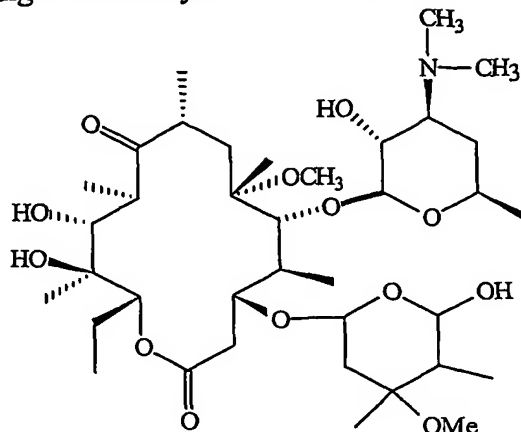


or a group represented by the Formula



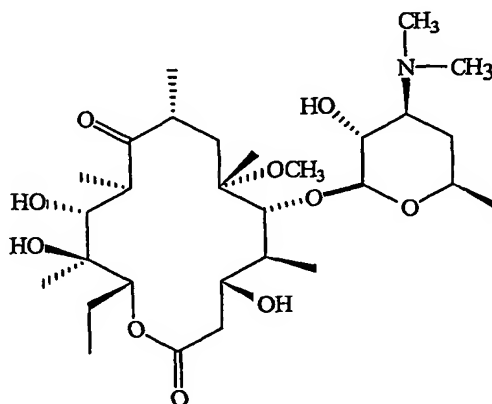
wherein  $R^9$  represents: hydrogen atom; alkyl ( $C_1-C_6$ ) group, wherein the alkyl ( $C_1-C_6$ ) may be unsubstituted or substituted by halogen (F, Cl, Br, I) atoms, five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, and sulphur, wherein the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent(s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, and cyano group, which method comprises:

Step (1) treating clarithromycin of Formula II



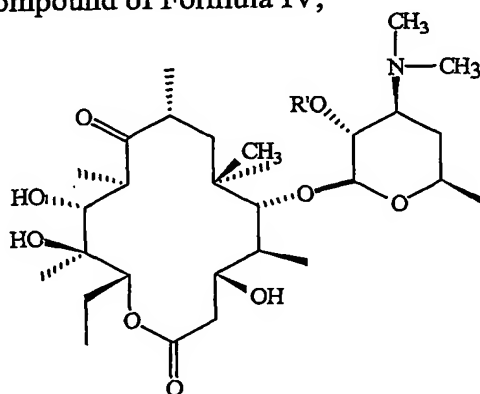
Formula II

with an acid at ambient temperature to give a compound of Formula III,



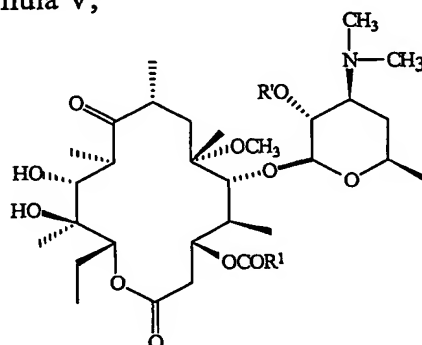
Formula III

Step (2) reacting the compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  (wherein  $R'$  is hydroxy protecting group optionally selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, methoxy methyl and  $X$  is an optional halogen atom) to give a compound of Formula IV,

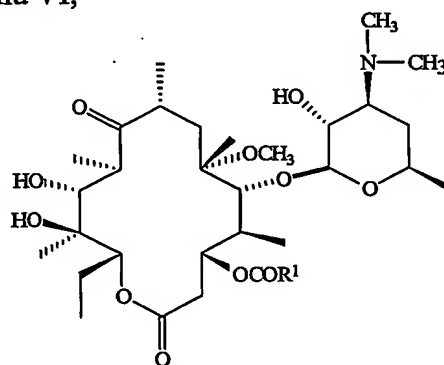


Formula IV

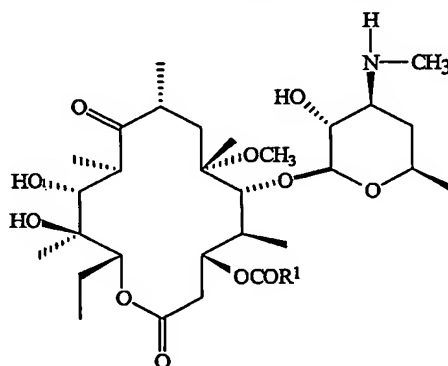
Step (3) reacting the compound of Formula IV with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  (wherein  $R^1$  is as defined for Formula I in claim 1 and  $R^4$  is a group selected from pivaloyl group, p-toluenesulfonyl group, isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give a compound of Formula V,

**Formula V**

Step (4) treating the compound of Formula V with aqueous alcohol to give a compound of Formula VI,

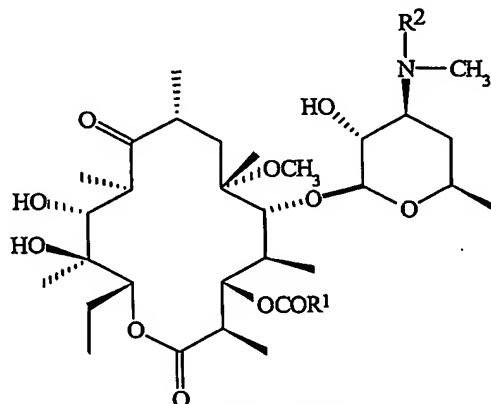
**Formula VI**

Step (5) desmethylating at 3'-N-dimethyl group of the compound of Formula VI with N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed by quench with sodium thiosulphate to give a compound of Formula VII,

**Formula VII**



Step (6) reacting the compound of Formula VII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  (wherein  $R^2$  is as defined for Formula 1 in claim 1) to give a compound of Formula I



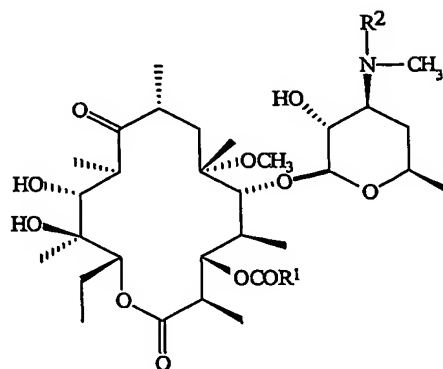
**Formula I**

$R^3 = R'' = CH_3$ ,  $R' = H$ ,  $U = V = OH$ , and  $Y = Z = O$

9. The process according to claim 8 wherein, the reaction of clarithromycin of Formula II with hydrochloric or dichloroacetic acid to give a compound of Formula III is carried out in presence of aqueous alcohol selected from the group comprising of aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol.
10. The process according to claim 8 wherein, the reaction of compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula IV is carried out in presence of an inorganic base selected from the group comprising of sodium hydrogen carbonate, potassium carbonate or an organic base selected from the group comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylaminopyridine.
11. The process according to claim 8 wherein, the reaction of compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula IV is carried out in presence of an inert solvent selected from the group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and tetrahydrofuran.
12. The process according to claim 8 wherein, the reaction of compound of Formula IV with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a compound of Formula V is carried out in presence of an activating agent selected from the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride (EDCI).

- 1 13. The process according to claim 8 wherein, the reaction of compound of Formula IV  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula V is carried out in presence of an inorganic base selected from  
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic  
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and  
6 4-dimethylaminopyridine.
- 1 14. The process according to claim 8 wherein, the reaction of compound of Formula IV  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula V is carried out in presence of an inert solvent selected from the  
4 group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and  
5 tetrahydrofuran.
- 1 15. The process according to claim 8 wherein, the reaction of compound of Formula V is  
2 carried out with aqueous alcohol selected from the group comprising of aqueous  
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a  
4 compound of Formula VI.
- 1 16. The process according to claim 8 wherein, the reaction of the compound of Formula  
2 VII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula I is  
3 carried out in presence of a reducing agent selected from the group comprising of  
4 sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or  
5 palladium/carbon catalyst.
- 1 17. The process according to claim 8 wherein, the reaction of the compound of Formula  
2 VII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula I is  
3 carried out in presence of a protic or non-protic solvent selected from the group  
4 comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform,  
5 tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether,  
6 dimethylformamide, acetonitrile, acetone and ethyl acetate.

## 18. A Process for preparing a compound of Formula I

**Formula I**

and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

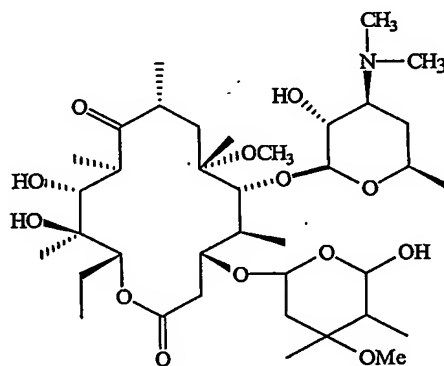
$R^3=R''=CH_3$ ,  $R'=H$ ,  $U=V=OH$ ,  $Y=Z=O$

$R^1$  represents lower alkyl ( $C_1$ - $C_5$ ) group, lower alkyl ( $C_1$ - $C_5$ ) having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl ( $C_1$ - $C_5$ ) amino group, lower alkyl amino ( $C_1$ - $C_5$ ) carbonyl group, lower alkoxy group ( $C_1$ - $C_5$ ), five or six membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen, nitrogen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1$ - $C_5$ ) group, lower alkyl ( $C_1$ - $C_5$ ) group having one or more halogen (F, Cl, Br, I) atoms, lower alkoxy ( $C_1$ - $C_5$ ) groups, lower alkyl ( $C_1$ - $C_5$ ) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, cyano group;

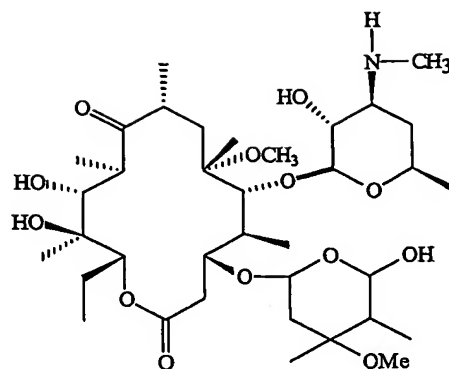
$R^2$  is selected from  $C_1$ - $C_6$  alkyl group optionally substituted with halogen atoms (F, Cl, Br, I), cycloalkyl ( $C_3$ - $C_7$ ) group, five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1$ - $C_3$ ), lower alkyl ( $C_1$ - $C_3$ ) group having one or more halogen (F, Cl, Br, I) atom as substituent (s), lower alkoxy ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group,  $C_1$ - $C_6$  alkyl group may also be substituted by a group consisting of  $NHCOR^5$ ,  $NHCOOR^5$ ,  $OCOR^5$ ,  $COR^5$  [wherein  $R^5$  represents lower alkyl ( $C_1$ - $C_5$ ), five to six membered aryl or heteroaryl

ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ), lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group];  $C_2-C_6$  alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of  $NHCOR^5$ ,  $NHCOOR^5$ ,  $COR^5$ ,  $OCOR^5$  (wherein  $R^5$  is as defined above); cycloalkyl ( $C_3-C_7$ ) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, cyano group, which method comprises the steps of

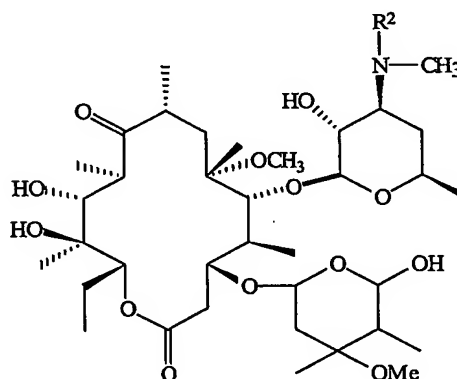
Step (1) desmethylating at 3'-N-dimethyl group of the compound of Formula II with N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed by quench with sodium thiosulphate to give a compound of Formula VIII



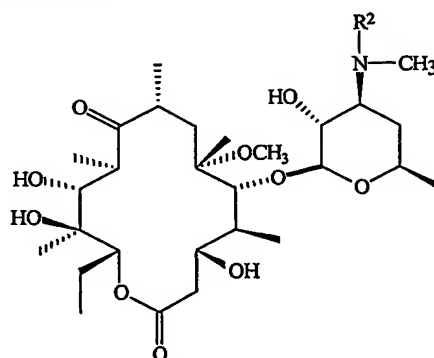
**Formula II**

**Formula VIII**

Step (2) reacting the compound of Formula VIII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  (wherein  $R^2$  is as defined for Formula 1 in claim 1) to give a compound of Formula IX

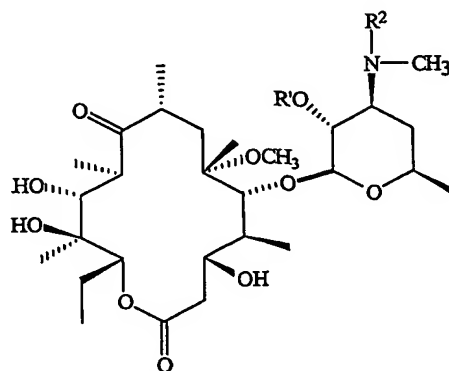
**Formula IX**

Step (3) treating the compound of Formula IX with acid at an ambient temperature to give a compound of Formula X

**Formula X**

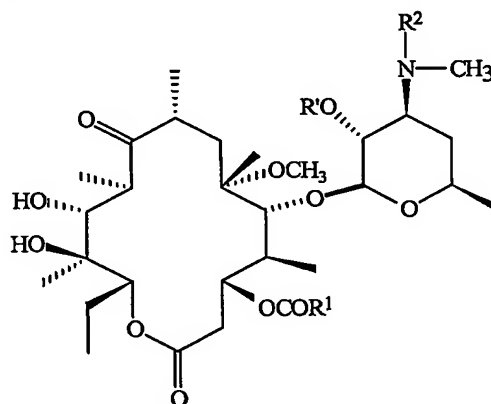
Step (4) reacting the compound of Formula X with a reagent of Formula  $R'O_2$  or  $R'X$  (wherein  $R'$  is hydroxy protecting group optionally selected from acetyl, benzoyl,

butyldiphenylsilyl, methylthiomethyl, methoxy methyl and X is an optional halogen atom) to give a compound of Formula XI



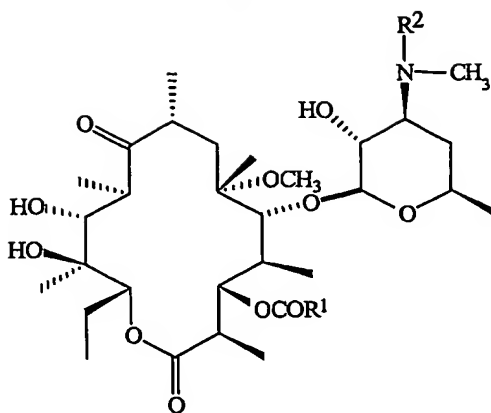
Formula XI

Step (5) reacting the compound of Formula XI with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  (wherein  $R^1$  is as defined for Formula I in claim 1 and  $R^4$  is a group selected from pivaloyl group, p-toluenesulfonyl group, isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give a compound of Formula XII



Formula XII

Step (6) treating the compound of Formula XII with aqueous alcohol to give a compound of Formula I

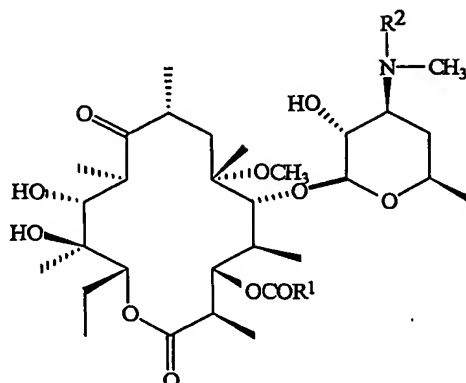

$$R^3=R''=CH_3, R'=H, U=V=OH, \text{ and } Y=Z=O$$

19. The process according to claim 18 wherein, the reaction of the compound of Formula VIII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula IX is carried out in presence of a reducing agent selected from the group comprising of sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or palladium/carbon catalyst.
20. The process according to claim 18 wherein, the reaction of the compound of Formula VIII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula IX is carried out in presence of a protic or non-protic solvent selected from the group comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform, tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether, dimethylformamide, acetonitrile, acetone and ethyl acetate.
21. The process according to claim 18 wherein, the reaction of compound of Formula IX with hydrochloric or dichloroacetic acid is carried out with aqueous alcohol selected from the group comprising of aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a compound of Formula X.
22. The process according to claim 18 wherein, the reaction of compound of Formula X with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula XI is carried out in presence of an inorganic base selected from the group comprising of sodium hydrogen carbonate, potassium carbonate or an organic base selected from the group comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylamonipyridine.

- 1 23. The process according to claim 18 wherein, the reaction of compound of Formula X  
2 with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula XI is carried  
3 out in presence of an inert solvent selected from the group comprising of  
4 dichloromethane, dichloroethane, acetone, ethyl acetate and tetrahydrofuran.
- 1 24. The process according to claim 18 wherein, the reaction of compound of Formula XI  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula XII is carried out in presence of an activating agent selected  
4 from the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3-(3-  
5 dimethylaminopropyl) carbodiimide hydrochloride (EDCI).
- 1 25. The process according to claim 18 wherein, the reaction of compound of Formula XI  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula XII is carried out in presence of an inorganic base selected from  
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic  
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and  
6 4-dimethylaminopyridine.
- 1 26. The process according to claim 18 wherein, the reaction of compound of Formula XI  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula XII is carried out in presence of an inert solvent selected from  
4 the group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and  
5 tetrahydrofuran.
- 1 27. The process according to claim 18 wherein, the reaction of compound of Formula XII  
2 is carried out with aqueous alcohol selected from the group comprising of aqueous  
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a  
4 compound of Formula I.



28. A process for preparing a compound of Formula I



**Formula I**

and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

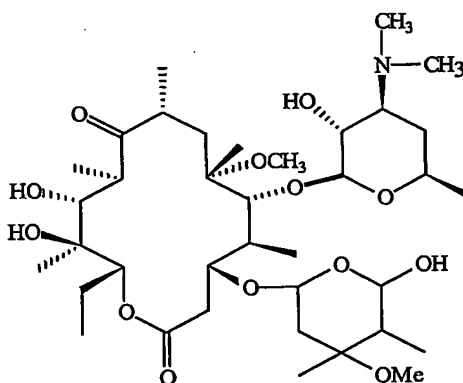
$R^3=R''=CH_3$ ,  $R'=H$ ,  $U=V=OH$ ,  $Y=Z=O$

$R^1$  represents lower alkyl ( $C_1-C_5$ ) group, lower alkyl ( $C_1-C_5$ ) having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl ( $C_1-C_5$ ) amino group, lower alkyl amino ( $C_1-C_5$ ) carbonyl group, lower alkoxy group ( $C_1-C_5$ ), five or six membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen, nitrogen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1-C_5$ ) group, lower alkyl ( $C_1-C_5$ ) group having one or more halogen (F, Cl, Br, I) atoms, lower alkoxy ( $C_1-C_5$ ) groups, lower alkyl ( $C_1-C_5$ ) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, cyano group;

$R^2$  is selected from  $C_1-C_6$  alkyl group optionally substituted with halogen atoms (F, Cl, Br, I), cycloalkyl ( $C_3-C_7$ ) group, five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1-C_3$ ), lower alkyl ( $C_1-C_3$ ) group having one or more halogen (F, Cl, Br, I) atom as substituent (s), lower alkoxy ( $C_1-C_3$ ) group, lower alkyl ( $C_1-C_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group,  $C_1-C_6$  alkyl group may

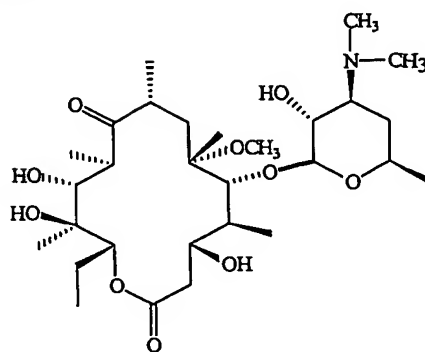
also be substituted by a group consisting of  $\text{NHCOR}^5$ ,  $\text{NHCOOR}^5$ ,  $\text{OCOR}^5$ ,  $\text{COR}^5$  [wherein  $\text{R}^5$  represents lower alkyl ( $\text{C}_1\text{-C}_5$ ), five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $\text{C}_1\text{-C}_3$ ), lower alkyl ( $\text{C}_1\text{-C}_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $\text{C}_1\text{-C}_3$ ) group, lower alkyl ( $\text{C}_1\text{-C}_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group];  $\text{C}_2\text{-C}_6$  alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of  $\text{NHCOR}^5$ ,  $\text{NHCOOR}^5$ ,  $\text{COR}^5$ ,  $\text{OCOR}^5$  (wherein  $\text{R}^5$  is as defined above); cycloalkyl ( $\text{C}_3\text{-C}_7$ ) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $\text{C}_1\text{-C}_3$ ) group, lower alkyl ( $\text{C}_1\text{-C}_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $\text{C}_1\text{-C}_3$ ) group, lower alkyl ( $\text{C}_1\text{-C}_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, cyano group, which method comprises the steps of

Step (1) treating clarithromycin of Formula II



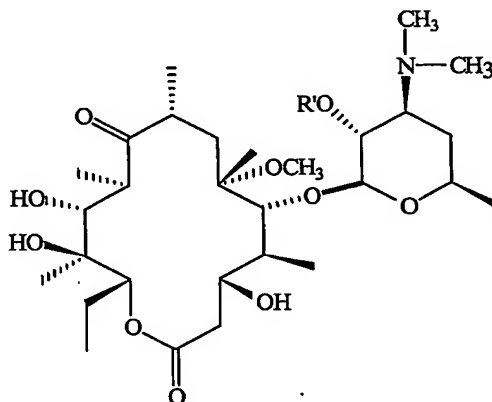
Formula II

with acid at ambient temperature to give a compound of Formula III



Formula III

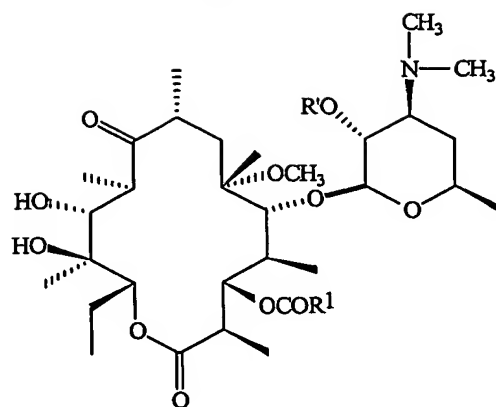
Step (2) reacting the compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  (wherein  $R'$  is hydroxy protecting group optionally selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, methoxy methyl and  $X$  is an optional halogen atom) to give a compound of Formula IV



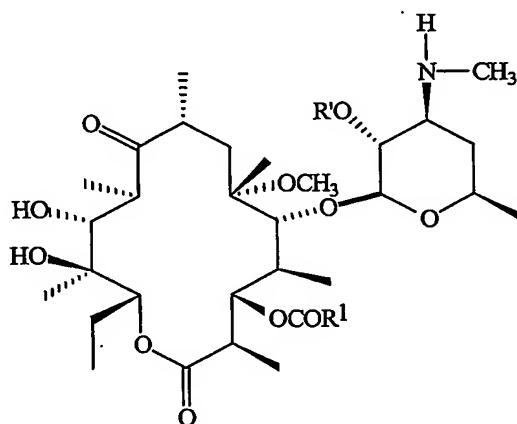
Formula IV

Step (3) reacting the compound of Formula IV with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  (wherein  $R^1$  is as defined for Formula I in claim 1 and  $R^4$  is a group selected from pivaloyl group, p-toluenesulfonyl group, isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give a compound of Formula V

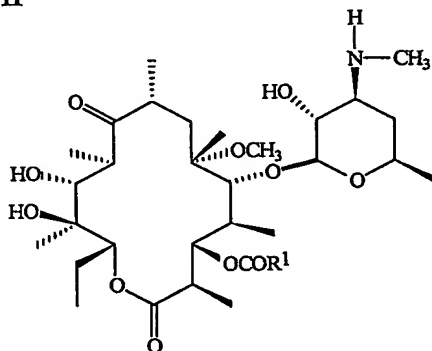
78

**Formula V**

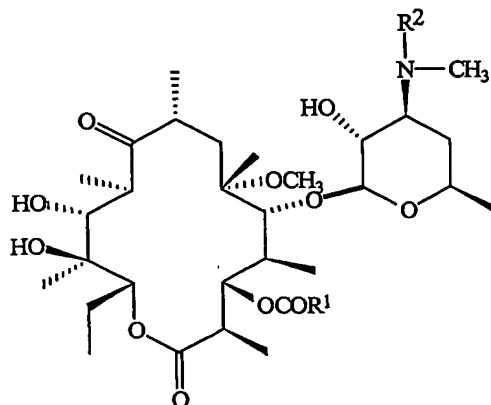
Step (4) desmethylating at 3'-N-dimethyl group of the compound of Formula V with N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed by quench with sodium thiosulphate to obtain the compound of Formula XIII

**Formula XIII**

Step (5) treating the compound of Formula XIII with aqueous alcohol to give a compound of Formula VII

**Formula VII**

Step (6) reacting the compound of Formula VII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  (wherein  $R^2$  is as defined for Formula 1 in claim 1) to give a compound of Formula I



### Formula I

$$R^3=R''=CH_3, R'=H, U=V=OH, \text{ and } Y=Z=O$$

29. The process according to claim 28 wherein, the reaction of clarithromycin of Formula II with hydrochloric or dichloroacetic acid to give a compound of Formula III is carried out in presence of aqueous alcohol selected from the group comprising of aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol.

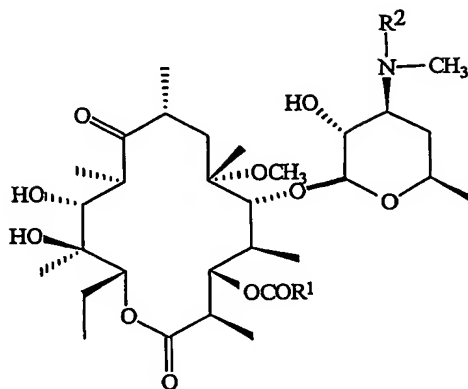
30. The process according to claim 28 wherein, the reaction of compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula IV is carried out in presence of an inorganic base selected from the group comprising of sodium hydrogen carbonate, potassium carbonate or an organic base selected from the group comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylamonipyridine.

31. The process according to claim 28 wherein, the reaction of compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula IV is carried out in presence of an inert solvent selected from the group comprising of dichloromethane, dichloroetane, acetone, ethyl acetate and tetrahydrofuran.

32. The process according to claim 28 wherein, the reaction of compound of Formula IV with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a compound of Formula V is carried out in presence of an activating agent selected from the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3(3-dimethylaminopropyl) carbodiimide hydrochloride (EDCI).

- 1 33. The process according to claim 28 wherein, the reaction of compound of Formula IV  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula V is carried out in presence of an inorganic base selected from  
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic  
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and  
6 4-dimethylaminopyridine.
- 1 34. The process according to claim 28 wherein, the reaction of compound of Formula IV  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula V is carried out in presence of an inert solvent selected from the  
4 group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and  
5 tetrahydrofuran.
- 1 35. The process according to claim 28 wherein, the reaction of compound of Formula XIII  
2 is carried out with aqueous alcohol selected from the group comprising of aqueous  
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a  
4 compound of Formula VII.
- 1 36. The process according to claim 28 wherein, the reaction of the compound of Formula  
2 VII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula I is  
3 carried out in presence of a reducing agent selected from the group comprising of  
4 sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or  
5 palladium/carbon catalyst.
- 1 37. The process according to claim 28 wherein, the reaction of the compound of Formula  
2 VII with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula I is  
3 carried out in presence of a protic or non-protic solvent selected from the group  
4 comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform,  
5 tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether,  
6 dimethylformamide, acetonitrile, acetone and ethyl acetate.

38. A process for preparing a compound of Formula I



**Formula I**

and its pharmaceutically acceptable acid addition salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs and metabolites, wherein

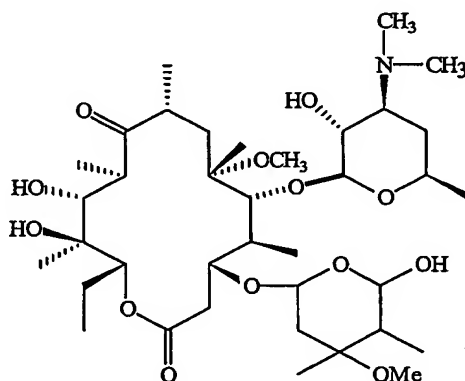
$R^3=R''=CH_3$ ,  $R'=H$ ,  $U=V=OH$ , and  $Y=Z=O$

$R^1$  represents lower alkyl ( $C_1$ - $C_5$ ) group, lower alkyl ( $C_1$ - $C_5$ ) having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkyl ( $C_1$ - $C_5$ ) amino group, lower alkyl amino ( $C_1$ - $C_5$ ) carbonyl group, lower alkoxy group ( $C_1$ - $C_5$ ), five or six membered aryl or heteroaryl ring having 1 to 3 hetero atoms selected from the group consisting of oxygen, nitrogen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1$ - $C_5$ ) group, lower alkyl ( $C_1$ - $C_5$ ) group having one or more halogen (F, Cl, Br, I) atoms, lower alkoxy ( $C_1$ - $C_5$ ) groups, lower alkyl ( $C_1$ - $C_5$ ) amino group, halogen atoms (F, Cl, Br, I), amino group, nitro group, hydroxy group, cyano group;

$R^2$  is selected from  $C_1$ - $C_6$  alkyl group optionally substituted with halogen atoms (F, Cl, Br, I), cycloalkyl ( $C_3$ - $C_7$ ) group, five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $C_1$ - $C_3$ ), lower alkyl ( $C_1$ - $C_3$ ) group having one or more halogen (F, Cl, Br, I) atom as substituent (s), lower alkoxy ( $C_1$ - $C_3$ ) group, lower alkyl ( $C_1$ - $C_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group,  $C_1$ - $C_6$  alkyl group may

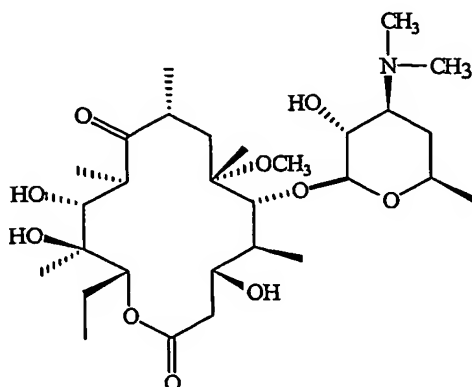
also be substituted by a group consisting of  $\text{NHCOR}^5$ ,  $\text{NHCOOR}^5$ ,  $\text{OCOR}^5$ ,  $\text{COR}^5$  [wherein  $\text{R}^5$  represents lower alkyl ( $\text{C}_1\text{-C}_5$ ), five to six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituent independently selected from the group consisting of lower alkyl ( $\text{C}_1\text{-C}_3$ ), lower alkyl ( $\text{C}_1\text{-C}_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $\text{C}_1\text{-C}_3$ ) group, lower alkyl ( $\text{C}_1\text{-C}_3$ ) amino group, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, cyano group];  $\text{C}_2\text{-C}_6$  alkenyl or alkyne group optionally substituted with halogen (F, Cl, Br, I) atoms or a group consisting of  $\text{NHCOR}^5$ ,  $\text{NHCOOR}^5$ ,  $\text{COR}^5$ ,  $\text{OCOR}^5$  (wherein  $\text{R}^5$  is as defined above); cycloalkyl ( $\text{C}_3\text{-C}_7$ ) group; five or six membered aryl or heteroaryl ring having 1 to 3 hetero atom independently selected from the group consisting of nitrogen, oxygen, sulphur, the aryl or heteroaryl ring may be unsubstituted or substituted by 1 to 3 substituents independently selected from the group consisting of lower alkyl ( $\text{C}_1\text{-C}_3$ ) group, lower alkyl ( $\text{C}_1\text{-C}_3$ ) group having one or more halogen (F, Cl, Br, I) atoms as substituent (s), lower alkoxy ( $\text{C}_1\text{-C}_3$ ) group, lower alkyl ( $\text{C}_1\text{-C}_3$ ) amino, halogen (F, Cl, Br, I) atoms, nitro group, hydroxy group, amino group, cyano group, which method comprises the steps of

Step (1) treating clarithromycin of Formula II



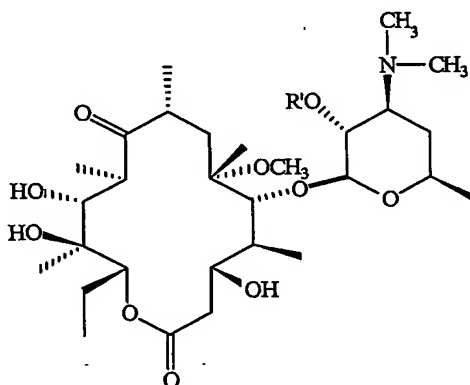
Formula II





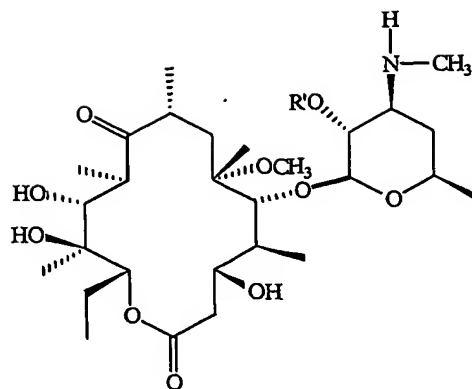
### Formula III

Step (2) reacting the compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  (wherein  $R'$  is hydroxy protecting group optionally selected from acetyl, benzoyl, butyldiphenylsilyl, methylthiomethyl, methoxy methyl and  $X$  is an optional halogen atom) to give a compound of Formula IV

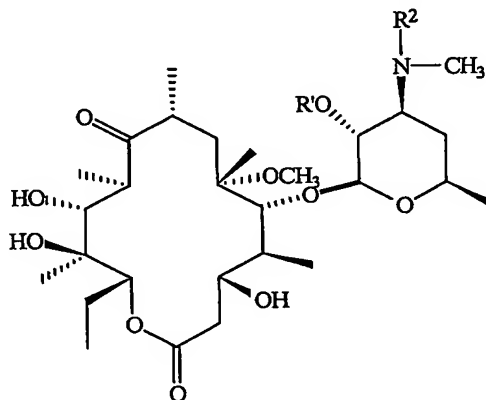


### Formula IV

Step (3) desmethylating at 3'-N-dimethyl group of the compound of Formula IV with N-iodosuccinamide and acetonitrile or iodine in presence of sodium acetate followed by quench with sodium thiosulphate to give a compound of Formula XIV

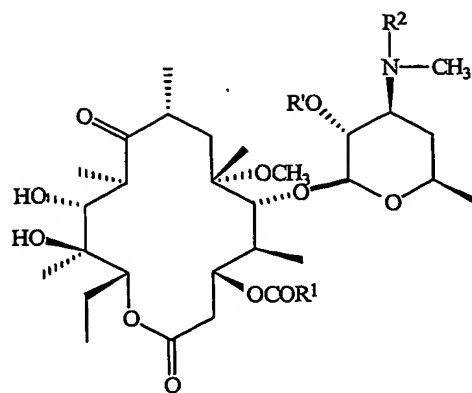
**Formula XIV**

Step (4) reacting the compound of Formula XIV with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  (wherein  $R^2$  is as defined for Formula 1) to give a compound of Formula XI

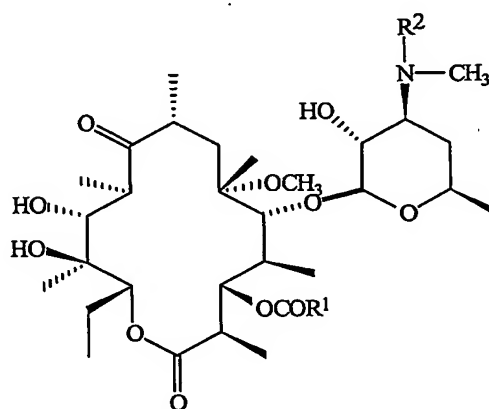
**Formula XI**

Step (5) reacting the compound of Formula XI with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  (wherein  $R^1$  is as defined for Formula I and  $R^4$  is a group selected from pivaloyl group, p-toluenesulfonyl group, isobutoxycarbonyl group, ethoxycarbonyl group or isopropoxycarbonyl group) to give a compound of Formula XII

85

**Formula XII**

Step (6) treating the compound of Formula XII with aqueous alcohol to give the compound of Formula I

**Formula I**

$R^3=R''=CH_3$ ,  $R'=H$ ,  $U=V=OH$ , and  $Y=Z=O$

39. The process according to claim 38 wherein, the reaction of clarithromycin of Formula II with hydrochloric or dichloroacetic acid to give a compound of Formula III is carried out in presence of aqueous alcohol selected from the group comprising of aqueous methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol.

40. The process according to claim 38 wherein, the reaction of compound of Formula III with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula IV is carried out in presence of an inorganic base selected from the group comprising of sodium hydrogen carbonate, potassium carbonate or an organic base selected from the group comprising of triethylamine, pyridine, tributylamine and 4-N-dimethylamonipyridine.

- 1 41. The process according to claim 38 wherein, the reaction of compound of Formula III  
2 with a reagent of Formula  $R'_2O$  or  $R'X$  to give a compound of Formula IV is carried  
3 out in presence of an inert solvent selected from the group comprising of  
4 dichloromethane, dichloroethane, acetone, ethyl acetate and tetrahydrofuran.
- 1 42. The process according to claim 38 wherein, the reaction of the compound of Formula  
2 XIV with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula XI  
3 is carried out in presence of a reducing agent selected from the group comprising of  
4 sodium borohydride, sodium cyanoborohydride, sodium triacetoborohydride or  
5 palladium/carbon catalyst.
- 1 43. The process according to claim 38 wherein, the reaction of the compound of Formula  
2 XIV with a reagent of Formula  $R^2CHO$  or  $R^2_2CO$  to give a compound of Formula XI  
3 is carried out in presence of a protic or non-protic solvent selected from the group  
4 comprising of hexane, toluene, methylene chloride, ethylene chloride, chloroform,  
5 tetrahydrofuran, N-methyl-pyrrolidinone, diethyl ether, bis-methoxymethyl ether,  
6 dimethylformamide, acetonitrile, acetone and ethyl acetate.
- 1 44. The process according to claim 38 wherein, the reaction of compound of Formula XI  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula XII is carried out in presence of an activating agent selected  
4 from the group comprising of dichlorohexylcarbodiimide (DCC) and 1-ethyl-3(3-  
5 dimethylaminopropyl) carbodiimide hydrochloride (EDCI).
- 1 45. The process according to claim 38 wherein, the reaction of compound of Formula XI  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula XII is carried out in presence of an inorganic base selected from  
4 the group comprising of sodium hydrogen carbonate, potassium carbonate or organic  
5 base selected from the group comprising of triethylamine, pyridine, tributylamine and  
6 4-dimethylaminopyridine.

- 1 46. The process according to claim 38 wherein, the reaction of compound of Formula XI  
2 with a reagent of Formula  $R^1COOH$ ,  $R^1COX$ ,  $(R^1CO)_2O$  or  $R^1COOR^4$  to give a  
3 compound of Formula XII is carried out in presence of an inert solvent selected from  
4 the group comprising of dichloromethane, dichloroethane, acetone, ethyl acetate and  
5 tetrahydrofuran.
- 1 47. The process according to claim 28 wherein, the reaction of compound of Formula XII  
2 is carried out with aqueous alcohol selected from the group comprising of aqueous  
3 methanol, aqueous ethanol, aqueous propanol and aqueous isopropanol to give a  
4 compound of Formula I.